10520136

NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:57:35 ON 16 APR 2007

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:57:44 ON 16 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 APR 2007 HIGHEST RN 930272-82-5
DICTIONARY FILE UPDATES: 15 APR 2007 HIGHEST RN 930272-82-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\c10520136.str

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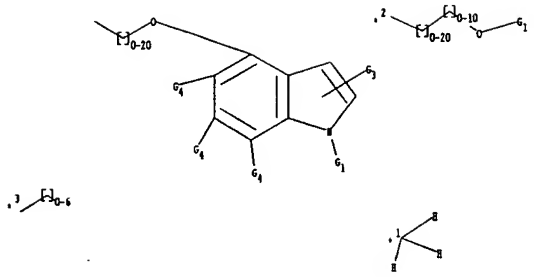

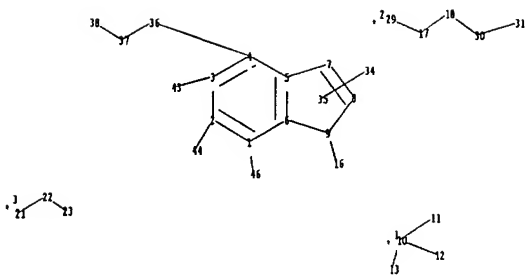

chain nodes :
10 11 12 13 16 17 18 21 22 23 29 30 31 34 36 37 38 43 44 46
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-46 2-44 3-43 4-36 9-16 10-11 10-12 10-13 17-18 17-29 18-30 21-22
22-23 30-31 36-37 37-38
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-46 2-44 3-43 4-36 5-7 6-9 7-8 8-9 9-16 18-30 30-31 36-37
exact bonds :
10-11 10-12 10-13 17-18 17-29 21-22 22-23 37-38
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:H,[*1]

G3:H,[*2]

G4:H,[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS
23:CLASS 29:CLASS 30:CLASS 31:CLASS 34:CLASS 35:Atom 36:CLASS 37:CLASS
38:CLASS 43:CLASS 44:CLASS 46:CLASS

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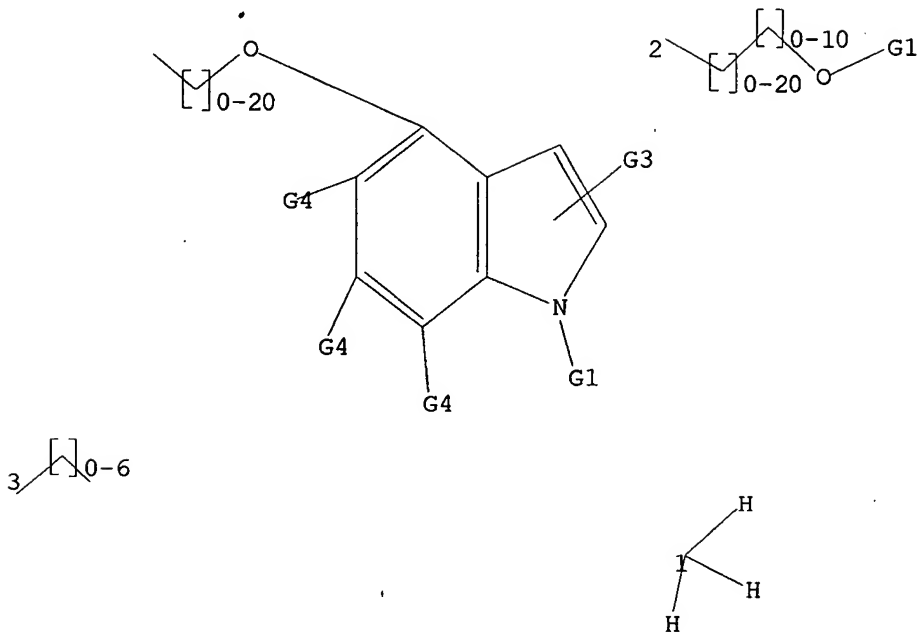
L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 H,[@1]

G2

G3 H,[@2]

G4 H,[@3]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 12:58:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 116068 TO ITERATE

100.0% PROCESSED 116068 ITERATIONS 3887 ANSWERS
SEARCH TIME: 00.00.01

L2 3887 SEA SSS FUL L1

=> s l2 and 1/N
5406076 1/N
L3 409 L2 AND 1/N

=> s l3 and 2/O
6107103 2/O
L4 89 L3 AND 2/O

=>
Uploading C:\Program Files\Stnexp\Queries\d10520136.str

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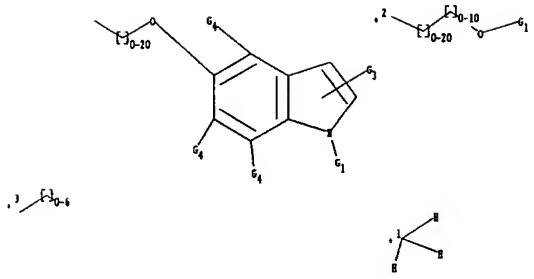

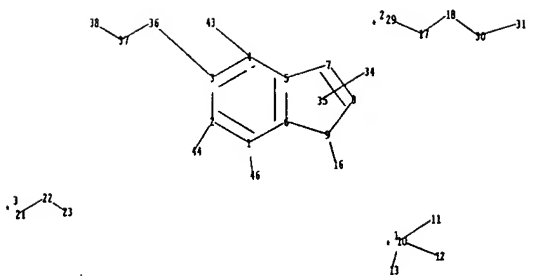

chain nodes :
10 11 12 13 16 17 18 21 22 23 29 30 31 34 36 37 38 43 44 46
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-46 2-44 3-36 4-43 9-16 10-11 10-12 10-13 17-18 17-29 18-30 21-22
22-23 30-31 36-37 37-38
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-46 2-44 3-36 4-43 5-7 6-9 7-8 8-9 9-16 18-30 30-31 36-37
exact bonds :
10-11 10-12 10-13 17-18 17-29 21-22 22-23 37-38
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:H,[*1]

G3:H,[*2]

G4:H,[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS
23:CLASS 29:CLASS 30:CLASS 31:CLASS 34:CLASS 35:Atom 36:CLASS 37:CLASS
38:CLASS 43:CLASS 44:CLASS 46:CLASS

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L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l5 full
FULL SEARCH INITIATED 12:59:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 264640 TO ITERATE

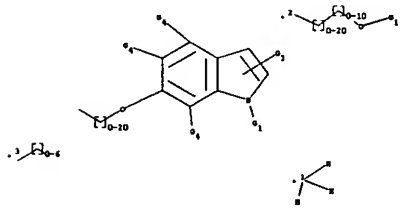
100.0% PROCESSED 264640 ITERATIONS 13522 ANSWERS
SEARCH TIME: 00.00.03

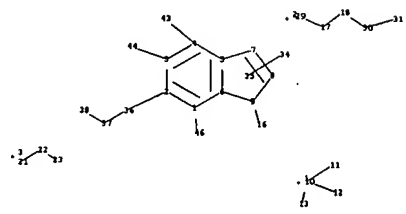
L6 13522 SEA SSS FUL L5

=> s l6 and 1/N
5406076 1/N
L7 1940 L6 AND 1/N

=> s l7 and 2/O
6107103 2/O
L8 325 L7 AND 2/O

=>
Uploading C:\Program Files\Stnexp\Queries\e10520136.str





chain nodes :
10 11 12 13 16 17 18 21 22 23 29 30 31 34 36 37 38 43 44 46
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :

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1-46 2-36 3-44 4-43 9-16 10-11 10-12 10-13 17-18 17-29 18-30 21-22
22-23 30-31 36-37 37-38
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-46 2-36 3-44 4-43 5-7 6-9 7-8 8-9 9-16 18-30 30-31 36-37
exact bonds :
10-11 10-12 10-13 17-18 17-29 21-22 22-23 37-38
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:H,[*1]

G3:H,[*2]

G4:H,[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS
23:CLASS 29:CLASS 30:CLASS 31:CLASS 34:CLASS 35:Atom 36:CLASS 37:CLASS
38:CLASS 43:CLASS 44:CLASS 46:CLASS

L9 STRUCTURE UPLOADED

=> d
L9 HAS NO ANSWERS
L9 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l9 full
FULL SEARCH INITIATED 13:01:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 260140 TO ITERATE

100.0% PROCESSED 260140 ITERATIONS 3038 ANSWERS
SEARCH TIME: 00.00.02

L10 3038 SEA SSS FUL L9

=> s l10 and 1/N
5406076 1/N
L11 362 L10 AND 1/N

=> s l11 and 2/O
6107103 2/O
L12 61 L11 AND 2/O

=>

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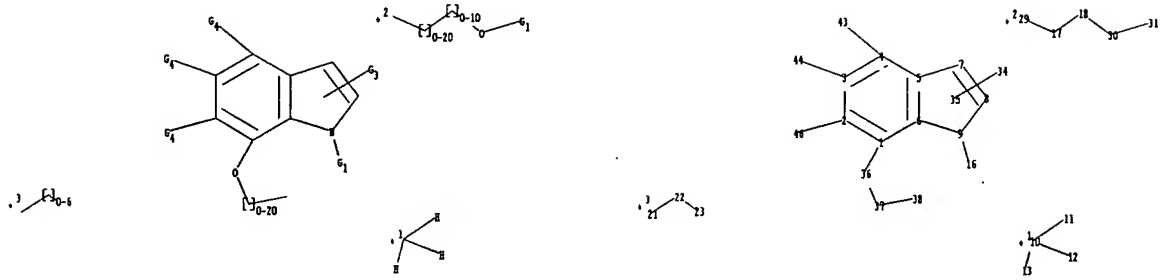
Uploading C:\Program Files\Stnexp\Queries\f10520136.str



chain nodes :
10 11 12 13 16 17 18 21 22 23 29 30 31 34 36 37 38 43 44 46
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-36 2-46 3-44 4-43 9-16 10-11 10-12 10-13 17-18 17-29 18-30 21-22
22-23 30-31 36-37 37-38
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-36 2-46 3-44 4-43 5-7 6-9 7-8 8-9 9-16 18-30 30-31 36-37
exact bonds :
10-11 10-12 10-13 17-18 17-29 21-22 22-23 37-38
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:H,[*1]

G3:H,[*2]

G4:H,[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS
23:CLASS 29:CLASS 30:CLASS 31:CLASS 34:CLASS 35:Atom 36:CLASS 37:CLASS
38:CLASS 43:CLASS 44:CLASS 46:CLASS

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L13 STRUCTURE UPLOADED

=> d
L13 HAS NO ANSWERS
L13 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l13 full
FULL SEARCH INITIATED 13:03:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 95445 TO ITERATE

100.0% PROCESSED 95445 ITERATIONS 1358 ANSWERS
SEARCH TIME: 00.00.01

L14 1358 SEA SSS FUL L13

=> s l14 and 1/N
5406076 1/N
L15 198 L14 AND 1/N

=> s l15 and 2/O
6107103 2/O
L16 48 L15 AND 2/O

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 730.70 730.91

FILE 'CAPLUS' ENTERED AT 13:03:41 ON 16 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 16 Apr 2007 VOL 146 ISS 17
FILE LAST UPDATED: 15 Apr 2007 (20070415/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d his

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     (FILE 'HOME' ENTERED AT 12:57:35 ON 16 APR 2007)

     FILE 'REGISTRY' ENTERED AT 12:57:44 ON 16 APR 2007
L1                STRUCTURE UPLOADED
L2             3887 S L1 FULL
L3              409 S L2 AND 1/N
L4               89 S L3 AND 2/O
L5                STRUCTURE UPLOADED
L6            13522 S L5 FULL
L7             1940 S L6 AND 1/N
L8              325 S L7 AND 2/O
L9                STRUCTURE UPLOADED
L10            3038 S L9 FULL
L11             362 S L10 AND 1/N
L12              61 S L11 AND 2/O
L13               STRUCTURE UPLOADED
L14            1358 S L13 FULL
L15             198 S L14 AND 1/N
L16              48 S L15 AND 2/O

     FILE 'CAPLUS' ENTERED AT 13:03:41 ON 16 APR 2007

=> s (l4 and l8 and l12 and l16)
             208 L4
             828 L8
             134 L12
              69 L16
L17           16 (L4 AND L8 AND L12 AND L16)

=> dup rem
ENTER L# LIST OR (END):l17
PROCESSING COMPLETED FOR L17
L18           16 DUP REM L17 (0 DUPLICATES REMOVED)
                 ANSWERS '1-16' FROM FILE CAPLUS

=> d ibib abs hitstr tot
```

should be OR

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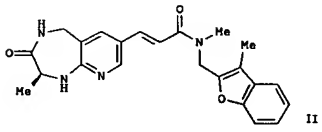
L18 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:636869 CAPLUS
DOCUMENT NUMBER: 145:103734
TITLE: Compositions comprising multiple antibiotic agents including a FabI inhibitor, methods of using the same, and preparation of the heterocycle FabI inhibitors
INVENTOR(S): Berman, Judd M.; Schmid, Molly B.; Mendlein, John D.; Kaplan, Nachum
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 192 pp., which which
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006142265	A1	20060629	US 2005-231298	20050919
WO 2004082586	A2	20040930	WO 2004-IB1261	20040317
WO 2004082586	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	US 2003-455189P	P	20030317
	US 2003-476970P	P	20030609
	US 2003-488379P	P	20030718
	WO 2004-IB1261	A2	20040317

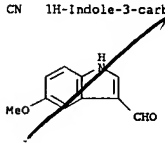
OTHER SOURCE(S): MARPAT 145:103734
GI



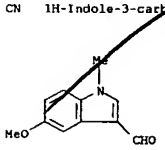
II

AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) inhibitor of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl,

L18 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, contg. 1-4 heteroatoms selected from N, S, and O; R1 = H, cyclo/alkyl, alk/acyl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cyclo/alkyl; n = 0-4]. The antibacterial compn. exhibits a synergistic antibacterial effect compared to its individual components. Thus, bromination of (S)-2-methyl-1,2,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-3-one (prepn. given), coupling of the bromide with N-methyl-N-[(3-methylbenzofuran-2-yl)methyl]acrylamide, and acidulation of the free base (no data) with TFA gave pyridodiazepine II•TFA. Selected I inhibited FabI with a Ki < 1 nM, an MIC (minimal inhibitory concn.) < 0.125 μg/mL, and an IC50 < 10 nM.
IT 10601-19-1, 5-Methoxy-1H-indole-3-carboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)
RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)

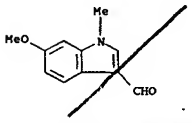


IT 39974-94-2P, 5-Methoxy-1-methyl-1H-indole-3-carboxaldehyde
202807-44-1P, 6-Methoxy-1-methyl-1H-indole-3-carboxaldehyde
620175-74-8P, 1-Methyl-4-methoxy-1H-indole-3-carboxaldehyde
620175-76-0P, 7-Methoxy-1-methyl-1H-indole-3-carboxaldehyde
620175-86-2P, 7-Benzyloxy-1-methyl-1H-indole-3-carboxaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)
RN 39974-94-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy-1-methyl- (CA INDEX NAME)

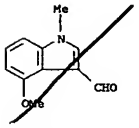


RN 202807-44-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy-1-methyl- (9CI) (CA INDEX NAME)

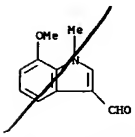
L18 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



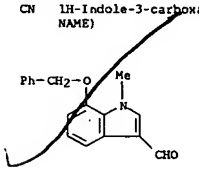
RN 620175-74-8 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy-1-methyl- (9CI) (CA INDEX NAME)



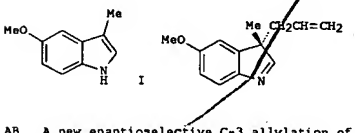
RN 620175-76-0 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 620175-86-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 1-methyl-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

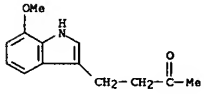


L18 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:349753 CAPLUS
DOCUMENT NUMBER: 145:45881
TITLE: Palladium-Catalyzed Enantioselective C-3 Allylation of 3-Substituted-1H-Indoles Using Trialkylboranes
AUTHOR(S): Trost, Barry M.; Quancard, Jean
CORPORATE SOURCE: Department of Chemistry, Stanford University, Stanford, CA, 94305-5080, USA
SOURCE: Journal of the American Chemical Society (2006), 128(19), 6314-6315
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:45881
GI



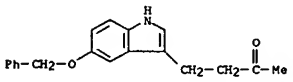
I II

AB A new enantioselective C-3 allylation of 3-substituted indoles was developed using allyl alc. and trialkylboranes. Asym. syntheses of 3,3-disubstituted indolines and indolenines in enantiomeric excesses up to 90% were achieved using the bulky borane derived from the hydroboration of 1-hexene with 9-BBN [9-BBN-C6H13] as the promoter of the reaction. Thus, reaction of the 3-methylindole I with allyl alc. in CH2Cl2 containing Pd2(dba)3.CHCl3, a chiral anthracene derived diphosphine ligand, and 9-BBN-C6H13 at 4° gave 92% 3-allyl-3-methylindolenine II with 85% enantiomeric excess. The dependence of the selectivity on the nature of the borane suggests that the boron reagent has a role beyond promoting ionization of the allyl alc. A protocol for oxidation of indolenines to oxindoles has also been developed and a formal synthesis of (-)-phenserine was described.
IT 890408-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(attempted enantioselective preparation of allylmethoxyindoline via alkylborane promoted, Pd catalyzed allylation of methoxyindoles by allyl alc.)
RN 890408-46-5 CAPLUS
CN 2-Butanone, 4-(7-methoxy-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

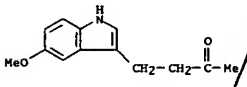


IT 505062-53-3
RL: RCT (Reactant); RACT (Reactant or reagent)

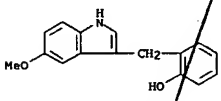
L18 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(enantioselective prepn. of allylindolines and allylindolenines via alkylborane promoted, Pd catalyzed allylation of indoles by allyl alc.)
RN 505062-53-3 CAPLUS
CN 2-Butanone, 4-[5-(phenylmethoxy)-1H-indol-3-yl]- (CA INDEX NAME)



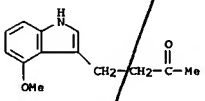
IT 14073-22-4P 145275-28-1P 890408-44-3P
890408-45-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective preparation of allylindolines and allylindolenines via alkylborane promoted, Pd catalyzed allylation of indoles by allyl alc.)
RN 14073-22-4 CAPLUS
CN 2-Butanone, 4-(5-methoxy-1H-indol-3-yl)- (CA INDEX NAME)



RN 145275-28-1 CAPLUS
CN Phenol, 2-[(5-methoxy-1H-indol-3-yl)methyl]- (9CI) (CA INDEX NAME)

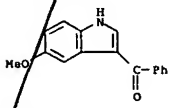


RN 890408-44-3 CAPLUS
CN 2-Butanone, 4-(4-methoxy-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



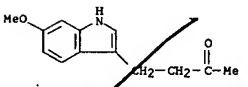
RN 890408-45-4 CAPLUS

L18 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

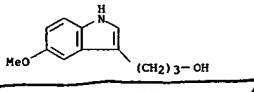


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

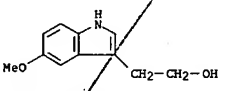
L18 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 2-Butanone, 4-(6-methoxy-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



IT 146818-71-5, 5-Methoxy-1H-indole-3-propanol
RL: RCT (Reactant); RACT (Reactant or reagent)
(iodination of indolepropanol in enantioselective preparation of allylindolines and allylindolenines via alkylborane promoted, Pd catalyzed allylation of indoles by allyl alc.)
RN 146818-71-5 CAPLUS
CN 1H-Indole-3-propanol, 5-methoxy- (9CI) (CA INDEX NAME)



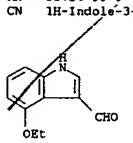
IT 712-09-4, 5-Methoxytryptophol
RL: RCT (Reactant); RACT (Reactant or reagent)
(iodination of methoxytryptophol in enantioselective preparation of allylindolines and allylindolenines via alkylborane promoted, Pd catalyzed allylation of indoles by allyl alc.)
RN 712-09-4 CAPLUS
CN 1H-Indole-3-ethanol, 5-methoxy- (CA INDEX NAME)



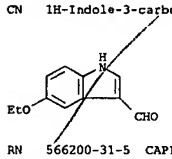
IT 103608-21-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of benzoylindole derivative in enantioselective preparation of allylindolines and allylindolenines via alkylborane promoted, Pd catalyzed allylation of indoles by allyl alc.)
RN 103608-21-5 CAPLUS
CN Methanone, (5-methoxy-1H-indol-3-yl)phenyl- (9CI) (CA INDEX NAME)

DATE not good

L18 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:434724 CAPLUS
DOCUMENT NUMBER: 146:274160
TITLE: Synthesis of substituted indole-3-carboxaldehyde derivatives
AUTHOR(S): Ge, Yu-Hua; Wu, Ya-Ming; Xue, Zhong-Jun
CORPORATE SOURCE: Department of Chemistry and Chemical Engineering, Southeast University, Nanjing, 210096, Peop. Rep. China
SOURCE: Youji Huaxue (2006), 26(4), 563-567
CODEN: YCHHDX; ISSN: 0253-2786
PUBLISHER: Youji Huaxue Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB Substituted 2-nitro-β-(1-piperidinyl)styrene derivs., obtained from the reaction of substituted 2-nitrotoluene, N,N-dimethylformamide di-Me acetal or N,N-dimethylformamide di-Et acetal and piperidine using N,N-dimethylformamide as solvent, reacted with iron and acetic acid to yield substituted indole derivs. Substituted indole-3-carboxaldehyde derivs. were synthesized from indoles by Vilsmeier-Haack reaction with phosphorus oxychloride and N,N-dimethylformamide.
IT 90734-98-8P 169789-47-3P 566200-31-5P
927181-99-5P, 6-Ethoxy-1H-indole-3-carboxaldehyde
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (ethoxy)indolecarboxaldehyde derivs. via formation of [(nitrophenyl)ethenyl]piperidine derivs., cyclization, formation of indole derivs. and Vilsmeier-Haack reaction)
RN 90734-98-8 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-ethoxy- (9CI) (CA INDEX NAME)

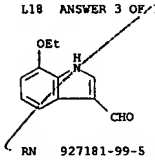


RN 169789-47-3 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-ethoxy- (CA INDEX NAME)

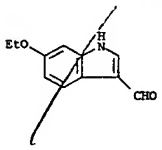


RN 566200-31-5 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-ethoxy- (CA INDEX NAME)

L18 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 927181-99-5 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-ethoxy- (CA INDEX NAME)



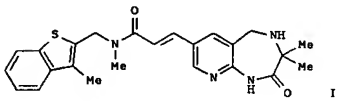
L18 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:799437 CAPLUS
DOCUMENT NUMBER: 141:314353
TITLE: Compositions comprising multiple antibiotic agents including a FabI inhibitor, methods of using the same, and preparation of the heterocycle FabI inhibitors
INVENTOR(S): Berman, Judd M.; Schmid, Molly B.; Mendlein, John D.; Kaplan, Nachum
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.
SOURCE: PCT Int. Appl., 311 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082586	A2	20040930	WO 2004-IB1261	20040317
WO 2004082586	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2519429	A1	20040930	CA 2004-2519429	20040317
EP 1608377	A2	20051228	EP 2004-721257	20040317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006523207	T	20061012	JP 2006-506526	20040317
US 2006142265	A1	20060629	US 2005-231298	20050919

PRIORITY APPLN. INFO.:
US 2003-455189P P 20030317
US 2003-476970P P 20030609
US 2003-488379P P 20030718
WO 2004-IB1261 W 20040317

OTHER SOURCE(S): MARPAT 141:314353
GI



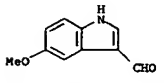
I

L18 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The invention is directed to antibacterial compns. comprising an NADH (or NADPH)-dependent enoyl-acyl carrier protein (ACP) reductase (FabI, previously designated EnvM) inhibitor of formula (Y1)a-A-CH(R1)-NR1CO-L-R2 (I) and at least one other antibiotic/antibacterial agent [L = alkyl, alkenyl, or cycloalkyl which may be substituted by one or more R1; A = (un)substituted bicyclic heteroaryl of 8-12 atoms or a tricyclic ring of 12-16 atoms, containing 1-4 heteroatoms selected from N, S, and O; R1 = cyclo/alkyl, alk/aryl; R2 = heterocyclyl; a = 0-4; Y1 = -(CH2)n-CO-NR4R5; R4 = water solubilizing group; R5 = H, cyclo/alkyl; n = 0-4]. The antibacterial composition exhibits a synergistic antibacterial effect compared to its individual components. Thus, reacting 7-Bromo-3,3-dimethyl-1,3,4,5-tetrahydropyrido[2,3-e][1,4]diazepin-2-one (preparation given) with N-Methyl-N-[(3-methylbenzo[b]thiophen-2-yl)methyl]acrylamide (preparation given), followed by acidulation gave diazepinone salt II•HCl. Selected I inhibited FabI with a Ki < 1 nM, an MIC (minimal inhibitory concentration) < 0.125 μg/mL, and an IC50 < 10 nM.

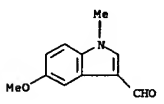
IT 10601-19-1, 5-Methoxy-1H-indole-3-carboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)

RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)



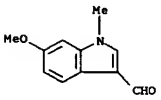
IT 39974-94-2P, 5-Methoxy-1-methyl-1H-indole-3-carboxaldehyde
202807-44-1P, 6-Methoxy-1-methyl-1H-indole-3-carboxaldehyde
620175-74-8P, 1-Methyl-4-methoxy-1H-indole-3-carboxaldehyde
620175-76-0P, 7-Methoxy-1-methyl-1H-indole-3-carboxaldehyde
620175-86-2P, 7-Benzyloxy-1-methyl-1H-indole-3-carboxaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; compns. comprising multiple antibiotic agents and preparation of heterocycle FabI inhibitor)

RN 39974-94-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy-1-methyl- (CA INDEX NAME)

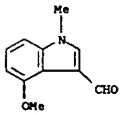


RN 202807-44-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy-1-methyl- (9CI) (CA INDEX NAME)

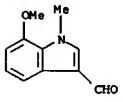
L18 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



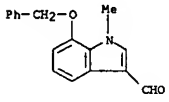
RN 620175-74-8 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 620175-76-0 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-methoxy-1-methyl- (9CI) (CA INDEX NAME)



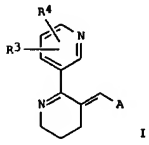
RN 620175-86-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 1-methyl-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L18 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:203668 CAPLUS
DOCUMENT NUMBER: 140:253751
TITLE: Preparation of anabaseine derivatives useful in the treatment of neurodegenerative diseases
INVENTOR(S): Herbert, Brain; Nguyen, Truc Minh; Tehim, Ashok; Hopper, Allen T.; Xie, Wenge
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019943	A1	20040311	WO 2003-US27164	20030829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495248	A1	20040311	CA 2003-2495248	20030829
AU 2003265842	A1	20040319	AU 2003-265842	20030829
US 2004229868	A1	20041118	US 2003-651023	20030829
EP 1531820	A1	20050525	EP 2003-791954	20030829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501246	T	20060112	JP 2004-531954	20030829
PRIORITY APPLN. INFO.:			US 2002-406981P	P 20020830
			WO 2003-US27164	W 20030829

OTHER SOURCE(S): MARPAT 140:253751
GI



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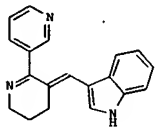
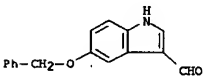
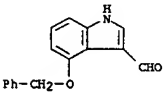


II

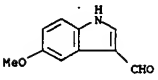
L18 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB The present invention discloses preparation of anabaseine derivs., such as I [A = Ph or pyridyl, each of which is substituted by a 5 to 7 membered (un)substituted heterocyclic ring containing 1 to 3 heteroatoms each selected from O, S and N; R3, R4 = H, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, halo, etc.], and physiol. acceptable salts thereof, for their use as ligands for nicotinic receptors. Thus, anabaseine derivative II was prepared by the condensation of anabaseine dihydrochloride and indole-3-carbaldehyde. The prepared compds. are useful in the treatment of neurodegenerative diseases.
IT 6953-22-6 7042-71-9 10601-19-1
70555-46-3 90734-97-7 109021-59-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of anabaseine derivs. useful in the treatment of neurodegenerative diseases)
RN 6953-22-6 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 7042-71-9 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-(phenylmethoxy)- (CA INDEX NAME)

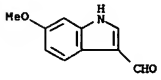


RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)

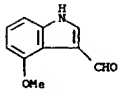


RN 70555-46-3 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy- (9CI) (CA INDEX NAME)

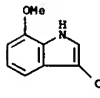
L18 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 90734-97-7 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy- (9CI) (CA INDEX NAME)



RN 109021-59-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-methoxy- (9CI) (CA INDEX NAME)

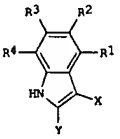


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

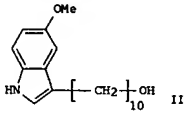
L18 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:80647 CAPLUS
DOCUMENT NUMBER: 140:145999
TITLE: Preparation of indole derivatives as stem cell differentiation promoters
INVENTOR(S): Luu, Bang; Coowar, Djalil; Mohier, Ellane; Yamada, Masashi; Suma, Yukie; Suzuki, Hiroto
PATENT ASSIGNEE(S): Meiji Dairies Corporation, Japan
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009545	A1	20040129	WO 2003-JP9244	20030722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2490878	A1	20040129	CA 2003-2490878	20030722
AU 2003248091	A1	20040209	AU 2003-248091	20030722
EP 1533299	A1	20050525	EP 2003-765343	20030722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1668587	A	20050914	CN 2003-817193	20030722
US 2005261357	A1	20051124	US 2005-520136	20050103
PRIORITY APPLN. INFO.:			JP 2002-213037	A 20020719
			WO 2003-JP9244	W 20030722

OTHER SOURCE(S): MARPAT 140:145999
GI



I



II

AB The title indole derivs. with general formula of I [wherein R1-R4 = independently alkoxy, H, alkyl, acetyl, or OH; X and Y = independently (CH2)nOH or H; n = 0-30] are prepared as stem cell differentiation promoters, and are useful for the treatment of neuropathy (no data). For example, the compound II was prepared in a multi-step synthesis. I promoted

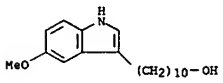
current app

L18 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

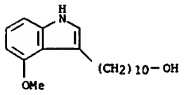
stem cell differentiation in rat.

IT 651331-26-9P 651331-27-0P 651331-28-1P
651331-30-5P 651331-31-6P 651331-32-7P
651331-33-8P 651331-34-9P 651331-35-0P
651331-36-1P 651331-37-2P 651331-38-3P
651331-39-4P 651331-40-7P 651331-41-8P
651331-42-9P 651331-43-0P 651331-44-1P
651331-45-2P 651331-46-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole derivs. as stem cell differentiation promoters)

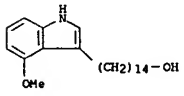
RN 651331-26-9 CAPLUS
CN 1H-Indole-3-decanol, 5-methoxy- (9CI) (CA INDEX NAME)



RN 651331-27-0 CAPLUS
CN 1H-Indole-3-decanol, 4-methoxy- (9CI) (CA INDEX NAME)

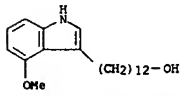


RN 651331-28-1 CAPLUS
CN 1H-Indole-3-tetradecanol, 4-methoxy- (9CI) (CA INDEX NAME)

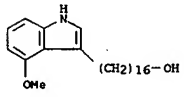


RN 651331-30-5 CAPLUS
CN 1H-Indole-3-decanol, 6-methoxy- (9CI) (CA INDEX NAME)

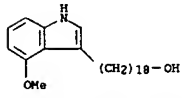
L18 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



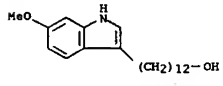
RN 651331-36-1 CAPLUS
CN 1H-Indole-3-hexadecanol, 4-methoxy- (9CI) (CA INDEX NAME)



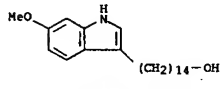
RN 651331-37-2 CAPLUS
CN 1H-Indole-3-octadecanol, 4-methoxy- (9CI) (CA INDEX NAME)



RN 651331-38-3 CAPLUS
CN 1H-Indole-3-dodecanol, 6-methoxy- (9CI) (CA INDEX NAME)

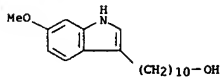


RN 651331-39-4 CAPLUS
CN 1H-Indole-3-tetradecanol, 6-methoxy- (9CI) (CA INDEX NAME)

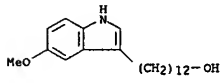


RN 651331-40-7 CAPLUS
CN 1H-Indole-3-hexadecanol, 6-methoxy- (9CI) (CA INDEX NAME)

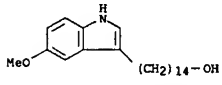
L18 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



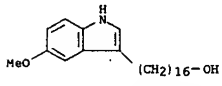
RN 651331-31-6 CAPLUS
CN 1H-Indole-3-dodecanol, 5-methoxy- (9CI) (CA INDEX NAME)



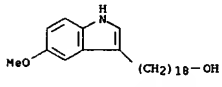
RN 651331-32-7 CAPLUS
CN 1H-Indole-3-tetradecanol, 5-methoxy- (9CI) (CA INDEX NAME)



RN 651331-33-8 CAPLUS
CN 1H-Indole-3-hexadecanol, 5-methoxy- (9CI) (CA INDEX NAME)

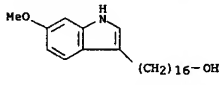


RN 651331-34-9 CAPLUS
CN 1H-Indole-3-octadecanol, 5-methoxy- (9CI) (CA INDEX NAME)

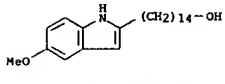


RN 651331-35-0 CAPLUS
CN 1H-Indole-3-dodecanol, 4-methoxy- (9CI) (CA INDEX NAME)

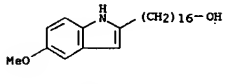
L18 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



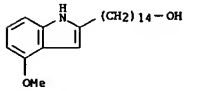
RN 651331-41-8 CAPLUS
CN 1H-Indole-2-tetradecanol, 5-methoxy- (9CI) (CA INDEX NAME)



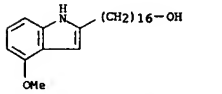
RN 651331-42-9 CAPLUS
CN 1H-Indole-2-hexadecanol, 5-methoxy- (9CI) (CA INDEX NAME)



RN 651331-43-0 CAPLUS
CN 1H-Indole-2-tetradecanol, 4-methoxy- (9CI) (CA INDEX NAME)



RN 651331-44-1 CAPLUS
CN 1H-Indole-2-hexadecanol, 4-methoxy- (9CI) (CA INDEX NAME)



RN 651331-45-2 CAPLUS
CN 1H-Indole-2-tetradecanol, 7-methoxy- (9CI) (CA INDEX NAME)

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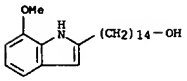
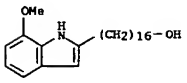
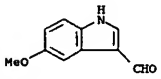
L18 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



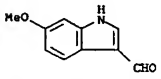
RN 651331-46-3 CAPLUS
CN 1H-Indole-2-hexadecanol, 7-methoxy- (9CI) (CA INDEX NAME)



IT 10601-19-1P 70555-46-3P 90734-97-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. as stem cell differentiation promoters)
RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)

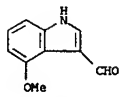


RN 70555-46-3 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy- (9CI) (CA INDEX NAME)



RN 90734-97-7 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy- (9CI) (CA INDEX NAME)

L18 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

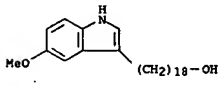


REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Date too late

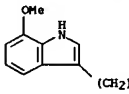
L18 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:890657 CAPLUS
DOCUMENT NUMBER: 142:74411
TITLE: Effects of Indole Fatty Alcohols on the Differentiation of Neural Stem Cell Derived Neurospheres
AUTHOR(S): Coowar, Djalil; Bouissac, Julien; Hanbali, Mazen; Paschaki, Marie; Mohier, Eliane; Luu, Bang
CORPORATE SOURCE: Laboratoire de Chimie Organique des Substances Naturelles, UMR 7123 CNRS, and Neurotransmission et Secretion Neuroendocrine, UPR 2356 CNRS, Universite Louis Pasteur, Strasbourg, 67084, Fr.
SOURCE: Journal of Medicinal Chemistry (2004), 47(25), 6270-6282
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:74411
AB In a search for inducers of neuronal differentiation to treat neurodegenerative diseases such as Alzheimer's disease, a series of indole fatty alcs. (IFAs) were prepared Thus, 5-methoxy-1H-indole-3-octadecanol was able to promote the differentiation of neural stem cell derived neurospheres into neurons at a concentration of 10 nM. Anal. of the expression of the Notch pathway genes in neurospheres treated during the differentiation phase with 5-methoxy-1H-indole-3-octadecanol revealed a significant decrease in the transcription of the Notch 4 receptor.
IT 651331-34-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (methoxy)-1H-indole-3-octadecanol and study of its activity toward promotion of differentiation of neural stem cell-derived neurospheres into neurons)
RN 651331-34-9 CAPLUS
CN 1H-Indole-3-octadecanol, 5-methoxy- (9CI) (CA INDEX NAME)

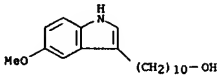


IT 812653-17-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (methoxy)indole fatty alc. and study of its activity as radical scavenger toward azinobis[(ethyl)dihydrobenzothiazolesulfonic acid])
RN 812653-17-1 CAPLUS
CN 1H-Indole-3-hexadecanol, 7-methoxy- (9CI) (CA INDEX NAME)

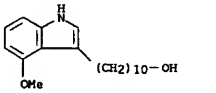
L18 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



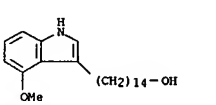
IT 651331-26-9P 651331-27-0P 651331-28-1P
651331-30-5P 651331-31-6P 651331-32-7P
651331-35-0P 651331-37-2P 651331-38-3P
651331-39-4P 812653-16-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (methoxy)indole fatty alc. and study of its activity toward promotion of differentiation of neural stem cell-derived neurospheres into neurons)
RN 651331-26-9 CAPLUS
CN 1H-Indole-3-decanol, 5-methoxy- (9CI) (CA INDEX NAME)



RN 651331-27-0 CAPLUS
CN 1H-Indole-3-decanol, 4-methoxy- (9CI) (CA INDEX NAME)



RN 651331-28-1 CAPLUS
CN 1H-Indole-3-tetradecanol, 4-methoxy- (9CI) (CA INDEX NAME)



RN 651331-30-5 CAPLUS
CN 1H-Indole-3-decanol, 6-methoxy- (9CI) (CA INDEX NAME)

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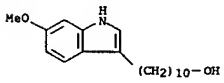
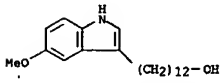
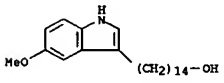
L18 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



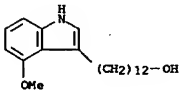
RN 651331-31-6 CAPLUS
CN 1H-Indole-3-dodecanol, 5-methoxy- (9CI) (CA INDEX NAME)



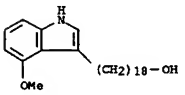
RN 651331-32-7 CAPLUS
CN 1H-Indole-3-tetradecanol, 5-methoxy- (9CI) (CA INDEX NAME)



RN 651331-35-0 CAPLUS
CN 1H-Indole-3-dodecanol, 4-methoxy- (9CI) (CA INDEX NAME)

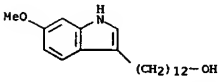


RN 651331-37-2 CAPLUS
CN 1H-Indole-3-octadecanol, 4-methoxy- (9CI) (CA INDEX NAME)

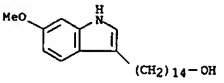


RN 651331-38-3 CAPLUS

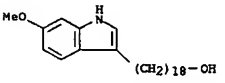
L18 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Indole-3-dodecanol, 6-methoxy- (9CI) (CA INDEX NAME)



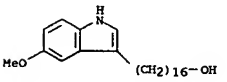
RN 651331-39-4 CAPLUS
CN 1H-Indole-3-tetradecanol, 6-methoxy- (9CI) (CA INDEX NAME)



RN 812653-16-0 CAPLUS
CN 1H-Indole-3-octadecanol, 6-methoxy- (9CI) (CA INDEX NAME)

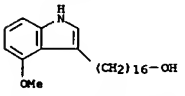


IT 651331-33-8P 651331-36-1P 651331-40-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (methoxy)indole fatty alc. and study of its activity toward
promotion of differentiation of neural stem cell-derived neurospheres into neurons and study of its activity as radical scavenger)
RN 651331-33-8 CAPLUS
CN 1H-Indole-3-hexadecanol, 5-methoxy- (9CI) (CA INDEX NAME)

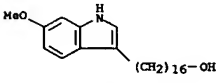


RN 651331-36-1 CAPLUS
CN 1H-Indole-3-hexadecanol, 4-methoxy- (9CI) (CA INDEX NAME)

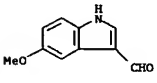
L18 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



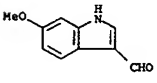
RN 651331-40-7 CAPLUS
CN 1H-Indole-3-hexadecanol, 6-methoxy- (9CI) (CA INDEX NAME)



IT 10601-19-1P, 5-Methoxy-1H-Indole-3-carboxaldehyde
70555-46-3P 90734-97-7P, 4-Methoxy-1H-Indole-3-carboxaldehyde 109021-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole fatty alc. derivs. using (methoxy)indolecarboxaldehyde as synthetic intermediate)
RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)

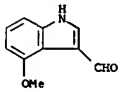


RN 70555-46-3 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy- (9CI) (CA INDEX NAME)

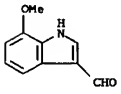


RN 90734-97-7 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy- (9CI) (CA INDEX NAME)

L18 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 109021-59-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10520136

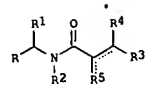
L18 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:855749 CAPLUS
DOCUMENT NUMBER: 139:364946
TITLE: Preparation of N-(heteroaryl)methylacrylamides as Fab I inhibitors
INVENTOR(S): Burgess, Walter J.; Jakas, Dalia; Huffman, William F.; Miller, William H.; Newlander, Kenneth A.; Seefeld, Mark A.; Uzinskas, Irene N.
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088897	A2	20031030	WO 2002-US10332	20020403
WO 2003088897	A3	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444597	A1	20021006	CA 2002-2444597	20020403
AU 2002367773	A1	20031103	AU 2002-367773	20020403
JP 2005519984	T	20050707	JP 2003-585650	20020403
EP 1560584	A2	20050810	EP 2002-807262	20020403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
US 2004147580	A1	20040729	US 2003-474315	20031006
US 7049310	B2	20060523		
US 2006116394	A1	20060601	US 2005-284660	20051122
			US 2001-282225P P	20010406
			WO 2002-US10332 W	20020403
			US 2003-474315 A3	20031006

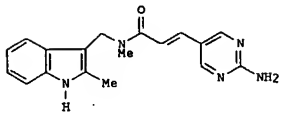
PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 139:364946
GI

L18 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

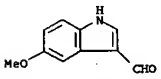


II

AB Title compds. I [R = (un)substituted aryl, heteroaryl; R1, R4 = H, alkyl; R2 = H, alkyl, cycloalkyl; R3 = (un)substituted pyridinyl, naphthyridinyl, azaindolyl, pyridoazepinyl, pyridodiazepinyl; R5 = H, alkyl, CH2] were prepared for use as Fab I inhibitors, useful in the treatment of bacterial infections (no data). Thus, 2-methylindole-3-carboxaldehyde was reductively aminated to give 2-methyl-3-methylaminomethylindole which was acylated with acryloyl chloride and treated with 2-amino-5-bromopyrimidine to give the amide II.

IT 10601-19-1, 5-Methoxy-1H-indole-3-carboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(heteroaryl)methylacrylamides as Fab I inhibitors)

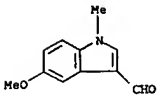
RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)



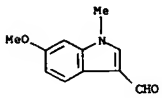
IT 39974-94-2P, 5-Methoxy-1-methyl-1H-indole-3-carboxaldehyde
202807-44-1P 620175-74-8P 620175-76-0P
620175-86-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(heteroaryl)methylacrylamides as Fab I inhibitors)

RN 39974-94-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy-1-methyl- (CA INDEX NAME)

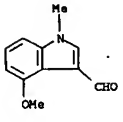
L18 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



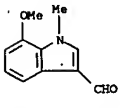
RN 202807-44-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 620175-74-8 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy-1-methyl- (9CI) (CA INDEX NAME)

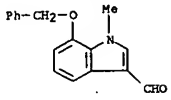


RN 620175-76-0 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 620175-86-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 1-methyl-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L18 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



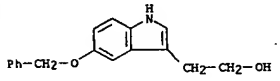
Karen Cheng

L18 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:322670 CAPLUS
DOCUMENT NUMBER: 135:122435
TITLE: A novel series of thromboxane A2 synthetase inhibitors with free radical scavenging and anti-peroxidative activities
AUTHOR(S): Kamiya, Shoji; Shirahase, Hiroaki; Nakamura, Shohei; Kanda, Mamoru; Matsui, Hiroshi; Yoshimi, Akihisa; Kasai, Masayasu; Takahashi, Kenji; Kurahashi, Kazuyoshi
CORPORATE SOURCE: Research Laboratories, Kyoto Pharmaceutical Industries, Ltd., Kyoto, 604-0141, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(5), 563-571
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:122435

AB A novel series of indoline derivs. with imidazole and carboxyl moieties were synthesized and evaluated for their thromboxane A2 (TXA2) synthetase inhibiting, radical scavenging and anti-peroxidative activities. Among the compds. synthesized, 3-(5-substituted-3-[2-(imidazol-1-yl)ethyl]indolin-1-yl)propionic acids showed free radical scavenging activity and inhibitory effects on lipid-peroxidn. of rat brain homogenate and on arachidonate-induced TXA2-dependent aggregation of rabbit platelets. The anti-platelet and anti-peroxidative activities were related to the lipophilicity of the 5-substituent. The 5-hexyloxy derivative (I) showed about 35-fold higher inhibitory activity on TXA2 synthesis than that of ozagrel and about 100-fold higher activity on lipid peroxidn. than that of α-tocopherol. Compound I showed in vivo anti-thrombotic effect in mice and ex vivo anti-peroxidative activity in rats.

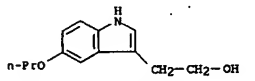
IT 41339-61-1P 350683-46-4P 350683-47-5P
350683-48-6P 350683-49-7P 350683-50-0P
350683-56-6P 350683-58-8P 350683-62-4P
350683-63-5P 350683-64-6P 350683-65-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indoline thromboxane A2 synthetase inhibitors with free radical scavenging and anti-peroxidative activities)

RN 41339-61-1 CAPLUS
CN 1H-Indole-3-ethanol, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

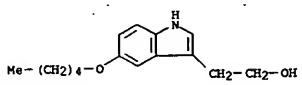


RN 350683-46-4 CAPLUS
CN 1H-Indole-3-ethanol, 5-(hexyloxy)- (9CI) (CA INDEX NAME)

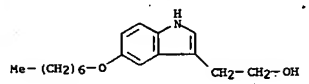
CN 1H-Indole-3-ethanol, 5-propoxy- (9CI) (CA INDEX NAME)



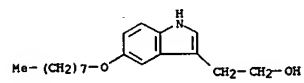
RN 350683-58-8 CAPLUS
CN 1H-Indole-3-ethanol, 5-(pentyloxy)- (9CI) (CA INDEX NAME)



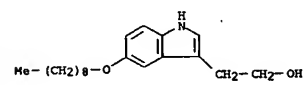
RN 350683-62-4 CAPLUS
CN 1H-Indole-3-ethanol, 5-(heptyloxy)- (9CI) (CA INDEX NAME)



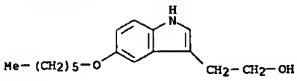
RN 350683-63-5 CAPLUS
CN 1H-Indole-3-ethanol, 5-(octyloxy)- (9CI) (CA INDEX NAME)



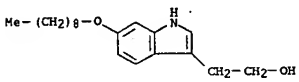
RN 350683-64-6 CAPLUS
CN 1H-Indole-3-ethanol, 5-(nonyloxy)- (9CI) (CA INDEX NAME)



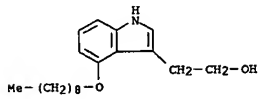
RN 350683-65-7 CAPLUS
CN 1H-Indole-3-ethanol, 5-(dodecyloxy)- (9CI) (CA INDEX NAME)



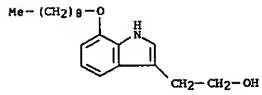
RN 350683-47-5 CAPLUS
CN 1H-Indole-3-ethanol, 6-(nonyloxy)- (9CI) (CA INDEX NAME)



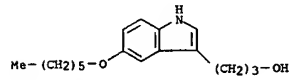
RN 350683-48-6 CAPLUS
CN 1H-Indole-3-ethanol, 4-(nonyloxy)- (9CI) (CA INDEX NAME)



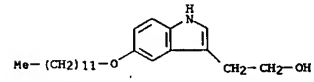
RN 350683-49-7 CAPLUS
CN 1H-Indole-3-ethanol, 7-(nonyloxy)- (9CI) (CA INDEX NAME)



RN 350683-50-0 CAPLUS
CN 1H-Indole-3-propanol, 5-(hexyloxy)- (9CI) (CA INDEX NAME)



RN 350683-56-6 CAPLUS



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

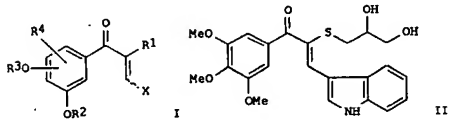
all good!

Karen Cheng

L18 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:582653 CAPLUS
DOCUMENT NUMBER: 131:228646
TITLE: Preparation of indolylpropenone derivatives as antitumor agents, immunosuppressants, and therapeutic agents for autoimmune disease
INVENTOR(S): Ikeda, Shun-ichi; Kimura, Uichiro; Ashizawa, Tadashi; Gomi, Katsushige; Saito, Hiromitsu; Kasai, Masaji; Kanazawa, Junji; Sasaki, Kimihito; Nukui, Etsuko; Okabe, Masami; Sato, Soichiro
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 641,699, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5952355	A	19990914	US 1996-757080		19961126
			JP 1993-288091	A	19931117
PRIORITY APPLN. INFO.:			JP 1995-111741	A	19950510
			US 1995-491928	B2	19950713
			JP 1995-313998	A	19951201
			US 1996-641699	B2	19960502

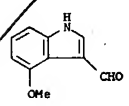
OTHER SOURCE(S): MARPAT 131:228646
GI



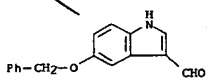
I II

AB Indolylpropenone derivs. (I) [R1 = H, (un)substituted lower alkyl, (un)substituted acyl, or YR5 (wherein Y = S or O; R5 = (un)substituted lower alkyl, (un)substituted (hetero)aryl, or (un)substituted cyclic ether); R2 and R3 = independently H, lower alkyl, or (un)substituted aralkyl, or alternatively R2 and R3 together = (un)substituted methylene or ethylene; R4 = H, OH, lower alkyl, (un)substituted or unsubstituted aralkyl(oxy), lower alkoxy, or halogen; X = (un)substituted indolyl], or pharmaceutically acceptable salts thereof, were prepared as antitumor agents, immunosuppressants, and therapeutic agents for autoimmune disease. Thus, 2-(2,3-dihydroxypropylthio)-3',4',5'-trimethoxyacetophenone (preparation given) and indole-3-carboxaldehyde were dissolved in EtOH and piperidine and refluxed for 72 h to yield (Z)-2-(2,3-dihydroxypropylthio)-3-(indol-3-yl)-1-(3,4,5-trimethoxyphenyl)-2-propen-1-one (II). Title compds. showed

L18 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IC50 values ranging from 0.00029 to 0.26 μM in the HeLa S3 cell growth inhibition test. Selected compds. were administered to mice in single and five consecutive doses to evaluate their effect upon the P388 ascites tumor. The increased life span (ILS) of mice in the test varied from 13% to 94% for single doses of 6.25 to 200 mg/kg and from 26% to 113% for five consecutive doses of 2.0 to 100 mg/kg. II showed a suppression rate of 69% at a dose of 10 mg/kg + 5 against delayed type hypersensitivity footpad reaction, 57% at a dose of 10 mg/kg + 5 against anti-trinitrophenol antibody prodn., and 107% at a concn. of 10-7 M against T-cell proliferation using mouse mixed lymphocyte reaction.
IT 90734-97-7P, 4-Methoxyindole-3-carboxaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indolylpropenone derivs. as antitumor agents, immunosuppressants, and therapeutic agents for autoimmune disease)
RN 90734-97-7 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy- (9CI) (CA INDEX NAME)

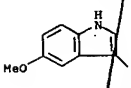


IT 6953-22-6, 5-Benzyloxyindole-3-carboxaldehyde 10601-19-1, 5-Methoxyindole-3-carboxaldehyde 70555-46-3, 6-Methoxyindole-3-carboxaldehyde 109021-59-2, 7-Methoxyindole-3-carboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of indolylpropenone derivs. as antitumor agents, immunosuppressants, and therapeutic agents for autoimmune disease)
RN 6953-22-6 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

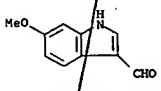


RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)

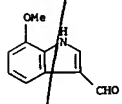
L18 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 70555-46-3 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy- (9CI) (CA INDEX NAME)



RN 109021-59-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-methoxy- (9CI) (CA INDEX NAME)

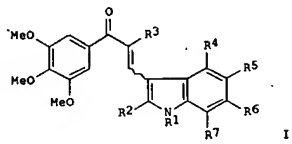


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:928128 CAPLUS
DOCUMENT NUMBER: 123:339726
TITLE: Preparation of indole derivatives as antitumor agents
INVENTOR(S): Ikeda, Shun-Ichi; Kimura, Uichiro; Ashizawa, Tadashi; Gomi, Katsushige; Saito, Hiromitsu; Kasai, Masaji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9514003	A1	19950526	WO 1994-JP1934		19941116
W: CA, JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 680950	A1	19951108	EP 1995-900905		19941116
EP 680950	B1	20010523			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
ES 2159618	T3	20011016	ES 1995-900905		19941116
JP 3272727	B2	20020408	JP 1995-514343		19941116
PRIORITY APPLN. INFO.:			JP 1993-288091	A	19931117
			WO 1994-JP1934	W	19941116

OTHER SOURCE(S): MARPAT 123:339726
GI



I

AB The title compds. I [R1 represents hydrogen, lower alkyl, lower alkanoyl, lower alkoxycarbonyl, lower alkylsulfonyl, aralkyl, (un)substituted aroyl, (un)substituted arylsulfonyl, (un)substituted heteroarylcarbonyl, (un)substituted heteroarylsulfonyl, etc.; R2 represents hydrogen, lower alkyl, halogen, (un)substituted aryl or (un)substituted heteroaryl; R3 represents hydrogen, lower alkyl or (un)substituted aryl; and R4, R5, R6 and R7 represent each independently hydrogen, lower alkyl, lower alkoxy, aralkyloxy, hydroxy, nitro, halogen, trifluoromethyl or NR8R9, wherein R8 and R9 represent each independently hydrogen, lower alkyl, lower alkanoyl, lower alkoxycarbonyl or (un)substituted aroyl] are prepared. The title compound (E)-I [R1 = R2 = R4 = R5 = R7 = H; R3 = R6 = methyl] (preparation given) in vitro showed IC50 of 0.00073 μM against HeLaS3 cells. The title compound (E)-I [R1 = R2 = R3 = R4 = R5 = R6 = R7 = H] (preparation given) in vitro showed IC50 of 0.01 μM against HeLaS3 cells. The in vivo antitumor activities of 10 compds. of this invention are given in a table in this document.

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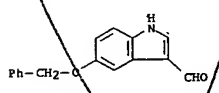
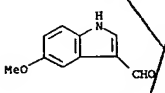
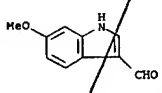
L18 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IT 6953-22-6, 5-Benzyloxyindole-3-carboxaldehyde 10601-19-1
, 5-Methoxyindole-3-carboxaldehyde 70555-46-3,
6-Methoxyindole-3-carboxaldehyde 109021-59-2,
7-Methoxyindole-3-carboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indole derivs. as antitumor agents)
RN 6953-22-6 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



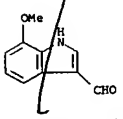
RN 10601-19-1 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-methoxy- (CA INDEX NAME)



RN 70555-46-3 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-methoxy- (9CI) (CA INDEX NAME)

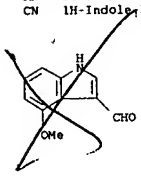


RN 109021-59-2 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-methoxy- (9CI) (CA INDEX NAME)



IT 90734-97-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as antitumor agents)

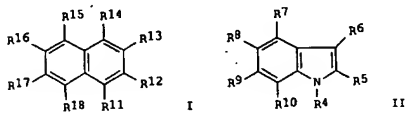
L18 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 90734-97-7 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-methoxy- (9CI) (CA INDEX NAME)



L18 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:1006753 CAPLUS
DOCUMENT NUMBER: 124:175829
TITLE: Substituted naphthalene and indole compounds exhibiting selective leukotriene B4 antagonist activity
INVENTOR(S): Huang, Fu Chih; Chan, Wan K.; Sutherland, Charles A.; Galemmo, Jr Robert A.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 580,243, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

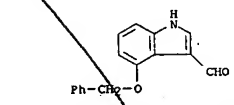
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5468898	A	19951121	US 1993-777246	19930423
WO 9204321	A1	19920319	WO 1991-US6447	19910906
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
PRIORITY APPLN. INFO.:			US 1990-580243	B2 19900910
			WO 1991-US6447	W 19910906

OTHER SOURCE(S): MARPAT 124:175829
GI

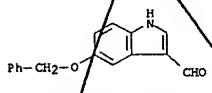


AB This invention relates to naphthalene and indole derivs. I and II, resp., containing an amido substituent, a substituent group having a terminal carboxylic acid or derivative thereof and a lipophilic substituent [i.e., at least one of R4, R5, R6, R7, R8, R9 and R10 and R11, R12, R13, R14, R15, R16, R17, R18 are A(CR2)aCONR'(CR2)bB; at least one of R4, R5, R6, R7, R8, R9 and R10 and R11, R12, R13, R14, R15, R16, R17, R18 are (CR2)dD(CR2)eE; and at least one of R4, R5, R6, R7, R8, R9 and R10 and R11, R12, R13, R14, R15, R16, R17, R18 are (CR2)fF(CR2)gG and the remaining R4, R5, R6, R7, R8, R9 and R10 and R11, R12, R13, R14, R15, R16, R17, R18 are H; where A is CRR or O; B and G are (un)substituted Ph; D = e.g., bond, O, CRR; E = e.g., CO2R', CONR'R'; F = e.g., bond, O, CRR; R = e.g., H; R' = e.g., H, alkyl; a, b, d, e, f, and g are independently 0-4] having selective LTB4 antagonist properties (no data) and to methods for the treatment of disorders which result from LTB4 activity and pharmaceutical compns. including such compds. Thus, e.g., amidation of bromoacetyl chloride with N-methyl-N-phenethylamine afforded N-methyl-N-phenethyl-2-bromoacetamide which was used to alkylate 5-hydroxyindole, thus affording 5-[2-(N-methyl-N-phenethyl)amino-2-oxoethoxy]indole; formylation of the latter afforded 5-[2-(N-methyl-N-phenethyl)amino-2-oxoethoxy]indole-3-carboxaldehyde; N-alkylation of the latter with N-methyl-N-phenethyl-2-

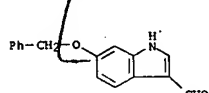
L18 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
bromoacetamide afforded N-methyl-N-phenethyl-2-[(5-(2-methylphenethylamino-2-oxoethoxy)-3-formyl)indol-1-yl]acetamide; condensation of the latter with tri-Et phosphonoacetate afforded N-methyl-N-phenethyl-2-[3-(2-carbethoxyvinyl)-5-(2-(N-methyl-N-phenethyl)amino-2-oxoethoxy)indol-1-yl]acetamide.
IT 7042-71-9, 4-Benzyloxyindole-3-carboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(substituted naphthalene and indole compds. exhibiting selective leukotriene B4 antagonist activity)
RN 7042-71-9 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-(phenylmethoxy)- (CA INDEX NAME)



IT 6953-22-6P, 5-Benzyloxyindole-3-carboxaldehyde 92855-64-6P
, 6-Benzyloxyindole-3-carboxaldehyde 92855-65-7P,
7-Benzyloxyindole-3-carboxaldehyde 141834-86-8P
173844-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(substituted naphthalene and indole compds. exhibiting selective leukotriene B4 antagonist activity)
RN 6953-22-6 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 92855-64-6 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 92855-65-7 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Karen Cheng

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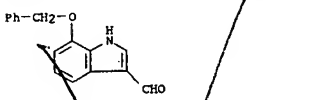
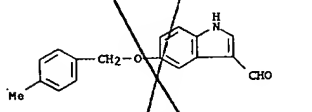
? CF_3 for CH_3.

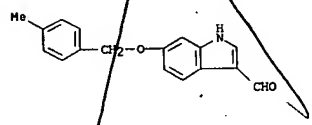
L18 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 141831-86-8 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 173844-36-5 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)

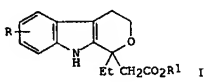


L18 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:164194 CAPLUS
DOCUMENT NUMBER: 114:164194
TITLE: Preparation of trifluoromethoxy substituted 1,3,4,9-tetrahydropyrano[3,4-b]indole-1-acetic acids as analgesic and antiinflammatory agents
INVENTOR(S): Failli, Amedeo A.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

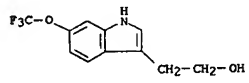
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4960902	A	19901002	US 1988-234790	19880819
US 5128363	A	19920707	US 1990-535431	19900608
PRIORITY APPLN. INFO.:			US 1988-234790	A3 19880819

OTHER SOURCE(S): MARPAT 114:164194
GI



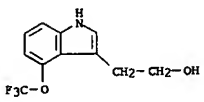
I

AB Title compds. I (R = F3CO; R1 = H, Me, 3-oxo-1-isobenzofuranyl) and a salt thereof, are prepared Cyclocondensation of 4- and 6-trifluoromethoxytryptophol with Me 3-methoxy-2-pentenoate in CCl2CH2 containing BF3.Et2O gave I (R = 7-F3CO; R1 = Me) in EtOH was treated with NaOH to give I (R = 7-F3CO; R1 = H) (II). II at 10 mg/kg p.o. inhibited 47% phenylquinone-induced writhing in mice.
IT 133115-57-8P 133115-58-9P 133115-74-9P 133115-77-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of analgesic and antiinflammatory agents)
RN 133115-57-8 CAPLUS
CN 1H-Indole-3-ethanol, 6-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

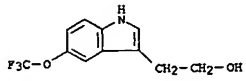


L18 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

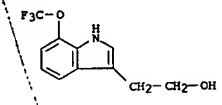
RN 133115-58-9 CAPLUS
CN 1H-Indole-3-ethanol, 4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 133115-74-9 CAPLUS
CN 1H-Indole-3-ethanol, 5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 133115-77-2 CAPLUS
CN 1H-Indole-3-ethanol, 7-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

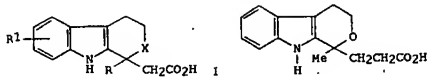


L18 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:592696 CAPLUS
DOCUMENT NUMBER: 85:192696
TITLE: 1,3,4,9-Tetrahydropyrano[3,4-b]indole-1-acetamides and derivatives
INVENTOR(S): Demerson, Christopher A.; Humber, Leslie G.; Dobson, Thomas A.; Jirkovsky, Ivo L.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 27 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3974179	A	19760810	US 1974-513693	19741010
US 3843681	A	19741022	US 1971-148895	19710601
US 3939178	A	19760217	US 1972-289714	19720915
US 4012417	A	19770315	US 1975-555506	19750305
US 4036842	A	19770719	US 1975-613160	19750915
US 4223151	A	19800916	US 1977-765169	19770203
PRIORITY APPLN. INFO.:			US 1971-148895	A2 19710601
			US 1972-289714	A2 19720915
			US 1972-311023	A2 19721130
			ZA 1972-3344	A 19720516
			US 1974-513693	A2 19741010
			US 1975-504086	A3 19750605

GI



I II

AB Pyranoindolealkanoic acid derivs. (80 compds.) including I (R = Me, Et, Pr, Bu, CMe3, R1 = H, X = O; R = Pr, R1 = 5-Me, 8-Me, X = O; R = Et, R1 = H, X = S) and II were prepared Thus tryptophol was condensed with Ac(CH2)nCO2Et (n = 1,2), followed by hydrolysis of the ester group to give I (R = Me, R1 = H, X = O) and II resp. I (R = Me, R1 = H, X = O) at 100 mg/kg orally gave 30% inflammation inhibition in the Freund adjuvant edema test. II ≤100 mg/ml inhibited growth of Proteus vulgaris, Klebsiella pneumoniae, and Serratia marcescens in vitro.
IT 39232-86-5 41340-31-2 60965-37-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with keto esters)
RN 39232-86-5 CAPLUS
CN 1H-Indole-3-ethanol, 7-methoxy- (9CI) (CA INDEX NAME)

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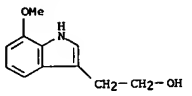
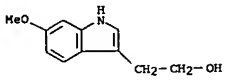
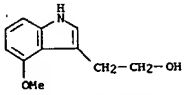
L18 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



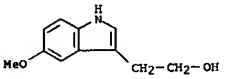
RN 41340-31-2 CAPLUS
CN 1H-Indole-3-ethanol, 6-methoxy- (9CI) (CA INDEX NAME)



RN 60965-37-9 CAPLUS
CN 1H-Indole-3-ethanol, 4-methoxy- (9CI) (CA INDEX NAME)

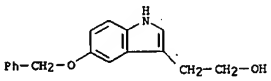


IT 712-09-4 41339-61-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with ketoesters)
RN 712-09-4 CAPLUS
CN 1H-Indole-3-ethanol, 5-methoxy- (CA INDEX NAME)



RN 41339-61-1 CAPLUS
CN 1H-Indole-3-ethanol, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L18 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



Yall good.

L18 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:75686 CAPLUS
DOCUMENT NUMBER: 64:75686
ORIGINAL REFERENCE NO.: 64:14159e-h,14160a
TITLE: Preparative application of radical hydroxylation of indole
AUTHOR(S): Eich, E.; Rochelmeyer, H.
CORPORATE SOURCE: Univ. Mainz, Germany
SOURCE: Pharmaceutica Acta Helvetiae (1966), 41(2), 109-23
CODEN: PAHEAA; ISSN: 0031-6865
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Previous work on the indoles is reviewed (26 references). The following procedures are described: Preparative hydroxylation of indole (I): Three g. I are dissolved in 2.5 l. 0.1M phosphate buffer (pH 7.2) by heating. After cooling to room temperature, 9.3 g. disodium ethylenediaminetetraacetic acid, 2.2 g. ascorbic acid, and 6.95 g. FeSO4 are added consecutively, dissolved, and 56.5 ml. 3% H2O2 is added with stirring. After 15 min. the mixture is filtered and extracted with 4 + 500 ml. gasoline and finally the aqueous phase is extracted with 4 + 500 ml. methylene chloride; the exts. are dried with Na2SO4 and evaporate under a vacuum to 60 ml. For the separation and isolation of hydroxyindole (II) isomers, the exts. are placed on 10 thin-layer plates of silica gel (equivalent to 6 ml. of extract per plate), are developed with C6H6-acetone (70:10) in a large chamber, dried in warm air, and developed anew in the same developer; the plates are dried again and protected in a large chamber with an atmospheric of N. The plates are sprayed with Fast Blue B. The other sorption layers are carefully uncovered and the sorption bands are removed. Four- and 6-hydroxyindole are obtained after elution with MeOH, removal of the eluting agent, and re-crystallization from CHCl3. The silica gel with the isomeric mixture of 5- and 7-dihydroxyindole of violet color is eluted with MeOH, the MeOH distilled, and the residue dissolved in methylene chloride, placed on thin-layer plates, and developed with 65:35 methylene chloride-ethyl acetate in an ammonia atmosphere by placing a 25% solution of ammonia in a dish in the chamber. The isolation is as given above. In the preparation of acetoxyindole (III), 0.1 g. hydroxyindole is dissolved in 10 ml. of a mixture of 25 ml. acetic anhydride and 30 ml. pyridine, kept several hrs. at room temperature, excess reagents were removed under a vacuum, the residue was dissolved in C6H6 and subjected to chromatography using silica gel, eluting with a mixture of C6H6 and increasing amts. of methylene chloride. Pure fractions of III were obtained as needles from petroleum ether (position of acetoxy group and m.p. given): 4, 99-100°; 5, 113-15°; 6, 81-2°; 7, 55°. L-Tryptophan is hydroxylated by the Fenton system (J. Chemical Society 65,899(1894)) followed by filtering and shaking with 3 + 100 ml. BuOH and drying the exts. with Na2SO4; the solvent is evaporated under a vacuum, the residue dissolved in MeOH and chromatographed. The reaction mixture of Udenfriend (J. Biol. Chemical 208, 731(1954)) was neutralized with Na2CO3 and extracted as above. Four analogous hydroxy derivs. were obtained. DL-5-Hydroxytryptophan is separated by paper chromatography using an optically inactive solvent.

IT 5689-31-6P, Indol-6-ol, acetate (ester)
RL: PREP (Preparation)
(preparation and spectrum of)

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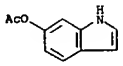
L18 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

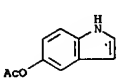
RN 5689-31-6 CAPLUS
CN 1H-Indol-6-ol, acetate (ester) (9CI) (CA INDEX NAME)



IT 5526-13-6P, Indol-7-ol, acetate (ester) 5585-96-6P, Indol-4-ol, acetate (ester) 5594-91-2P, Indol-5-ol, acetate (ester)
RL: PREP (Preparation)
(preparation of)
RN 5526-13-6 CAPLUS
CN 1H-Indol-7-ol, acetate (ester) (9CI) (CA INDEX NAME)

RN 5585-96-6 CAPLUS
CN 1H-Indol-4-ol, acetate (ester) (9CI) (CA INDEX NAME)

RN 5594-91-2 CAPLUS
CN 1H-Indol-5-ol, acetate (ester) (9CI) (CA INDEX NAME)



L18 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:52623 CAPLUS
DOCUMENT NUMBER: 60:52623
ORIGINAL REFERENCE NO.: 60:9228c-e
TITLE: Preparation of the hydroxyskatoles and 5,6-dihydroxyskatole
AUTHOR(S): Heacock, R. A.; Hutzinger, O.
CORPORATE SOURCE: Univ. Hosp., Saskatoon
SOURCE: Canadian Journal of Chemistry (1964), 42(3), 514-21
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

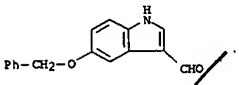
GI For diagram(s), see printed CA Issue.

AB A new procedure for the preparation of the hydroxyskatoles (I) has been devised. 4-, 5-, 6-, and 7-Benzyloxyindole-3-carboxaldehyde and 5,6-dibenzyloxyindole-3-carboxaldehyde have been prepared and give the corresponding benzyloxyskatoles on reduction with either LiAlH4 or NaBH4/Pd-C.

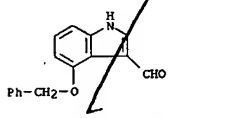
The 4-, 5-, 6-, and 7-hydroxyskatoles and 5,6-dihydroxyskatole are readily obtained on catalytic debenzylation of the relevant benzyloxy compound An alternative method for the preparation of the hydroxyskatoles involving hydrogenolysis of the benzyloxygramines is also described. The possible structures of by-products obtained in some instances are discussed.

IT 6953-22-6P, Indole-3-carboxaldehyde, 5-(benzyloxy)-
7042-71-9P, Indole-3-carboxaldehyde, 4-(benzyloxy)-
92855-64-6P, Indole-3-carboxaldehyde, 6-(benzyloxy)-
92855-65-7P, Indole-3-carboxaldehyde, 7-(benzyloxy)-
RL: PREP (Preparation)
(preparation of)

RN 6953-22-6 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

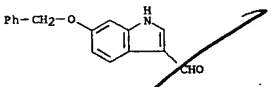


RN 7042-71-9 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 4-(phenylmethoxy)- (CA INDEX NAME)

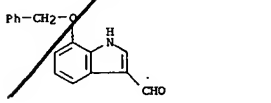


RN 92855-64-6 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L18 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 92855-65-7 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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